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STRUCTURE FILE UPDATES: 2 JUL 2007 HIGHEST RN 940883-34-1
 DICTIONARY FILE UPDATES: 2 JUL 2007 HIGHEST RN 940883-34-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

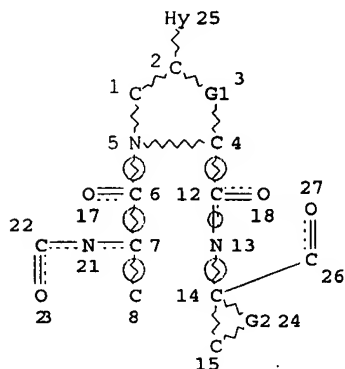
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d que sta l10

L3 183824 SEA FILE=REGISTRY ABB=ON PLU=ON N4C/ES
 L8 STR



REP G1=(0-2) C

REP G2=(0-2) C

NODE ATTRIBUTES:

NSPEC IS R AT 15

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E1 C E4 N AT 25

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

L10 257 SEA FILE=REGISTRY SUB=L3 SSS FUL L8

100.0% PROCESSED 31556 ITERATIONS

257 ANSWERS

SEARCH TIME: 00.00.01

=> b hcap

FILE 'HCAPLUS' ENTERED AT 15:45:47 ON 03 JUL 2007
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FILE COVERS 1907 - 3 Jul 2007 VOL 147 ISS 2
FILE LAST UPDATED: 2 Jul 2007 (20070702/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs fhitr 130 tot

L30 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:611823 HCAPLUS

DN 143:153709

TI Synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors

IN Miao, Zhenwei; Sun, Ying; Nakajima, Suanne; Tang, Datong; Wu, Frank; Xu, Guoyou; Or, Yat S.; Wang, Zhe

PA USA

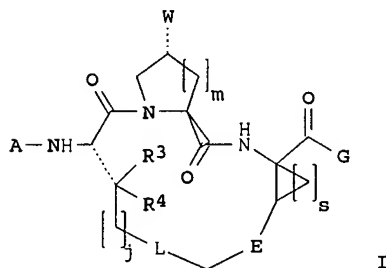
SO U.S. Pat. Appl. Publ., 229 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US2005153877	A1	20050714	2004US-0774047	20040206 <--
PRAI	2003US-509069P	P	20030213	<--	
OS	MARPAT 143:153709				
GI					



AB The invention relates to cyclic peptides I [A = H, COR2, CO2R1, CONHR2, etc.; G = OH, alkoxy, NHSO2R1, CO2R1, CONHR1, etc.; L = absent, S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1, R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3, R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or their pharmaceutically-acceptable salts, esters, or prodrugs, which inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. An example is I (A = Me3CO2C, G = OH, L = absent, W = 5-phenyl-1,2,3,4-tetrazol-2-yl, j = 3, m, s = 1; R3, R4 = H), which was prepared via peptide coupling and ring-closing metathesis.

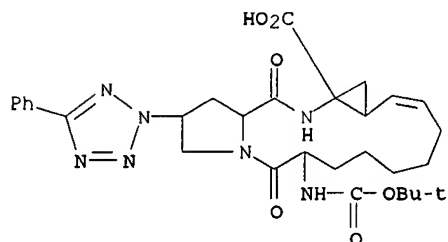
IT 744247-19-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)

RN 744247-19-6 HCAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



L30 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:698218 HCAPLUS

DN 141:220883

TI Macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection

IN Miao, Zenwei; Sun, Ying; Wu, Frank; Nakajima, Suanne; Xu, Guoyou; Or, Yat Sun; Wang, Zhe

PA Enanta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 299 pp.

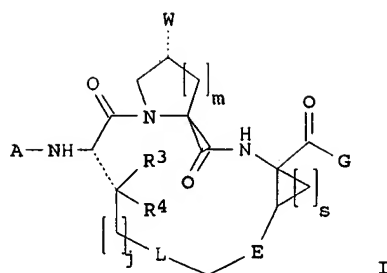
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004072243	A2	20040826	2004WO-US03479	20040206
	WO2004072243	A3	20051103		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US2004180815	A1	20040916	2003US-0384120	20030307
	AU2004211637	A1	20040826	2004AU-0211637	20040206
	CA---2515216	A1	20040826	2004CA-2515216	20040206
	EP---1590442	A2	20051102	2004EP-0709020	20040206
	EP---1590442	A3	20051221		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	CN---1771050	A	20060510	CN 2004-80009268	20040206
PRAI	2003US-0360947	A	20030207		
	2003US-0365854	A	20030213		
	2003US-0384120	A	20030307		
	2004WO-US03479	A	20040206		
OS	MARPAT 141:220883				
GI					



AB The present invention relates to compds. I [A = H, COR2, COOR1, CONHR2, etc.; G = OH, COR2, COOR1, CONHR1, etc.; L = S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1, R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3, R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or a pharmaceutically acceptable salt, ester, or prodrug thereof, and to methods for their synthesis. The compds. inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. Consequently, the compds. of the present invention interfere with the life cycle of HCV and are also useful as antiviral agents. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject suffering from HCV infection. The invention also relates to methods of treating an HCV infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention.

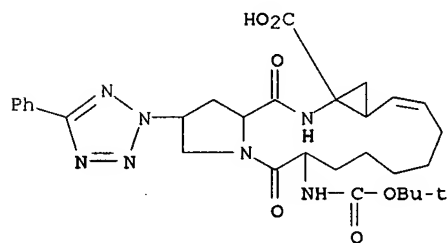
IT 744247-19-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

RN 744247-19-6 HCAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-], (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



=> d bib abs hitstr retable 131 tot

L31 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:13744 HCAPLUS

DN 146:122303

TI Preparation of aryl-containing macrocyclic peptides for the treatment of viral infection

IN Burger, Matthew T.; Bussiere, Dirksen; Murray, Jeremy; Ng, Simon; Ni, Zhi-Jie; Pfister, Keith B.; Wagman, Allan S.; Zhou, Yasheen

PA Chiron Corporation, USA

SO PCT Int. Appl., 140pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2007001406	A2	20070104	2005WO-US35853	20051005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRAI 2004US-616421P P 20041005 OS MARPAT 146:122303 GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention discloses novel aryl-containing macrocyclic compds. I [A1 is (CR₂R₃)₁₋₇ or A1 combined with R₁₃ is cyclopropyl-(CR₂R₃)₁₋₇; A2 is a bond, O, (CR₄R₅)₁₋₆, or O(CR₄R₅)₁₋₆ (R₂-R₅ are H, OH, F, Cl, Br, iodo, amino, alkyl, cycloalkyl, etc.); Q is (un)substituted aryl or heteroaryl; X is absent, S, SO, SO₂, S₂, NH, alkylimino, alkylidene, etc.; Z is (CH₂)₀₋₄-Y₀₋₂-R₁₀, CHR₈-R₉-R₁₀, or an amino acid side chain (Y is O or alkylidene; R₈ is group given for R₂-R₅; R₉ is a bond, alkylene, cycloalkylene, etc.; R₁₀ is H, aryl, arylalkyl, heteroaryl, etc.); R₁ is CO₂H or COCO₂H or esters or amides; R₆ is H CHO, carbamoyl or sulfonyl groups; R₇ is H, alkyl, cycloalkyl, alkylamino, etc; R₁₂, R₁₃, R₁₅, R₁₆, R₁₇ are H, alkyl, or haloalkyl or stereoisomers, tautomers, prodrugs, and pharmaceutically-acceptable salts for inhibition of HCV and SARS viral replication. Thus, (quinolyloxy)prolyl macrocyclic peptide II (Boc = tert-butoxycarbonyl) was prepared via etherification, peptide coupling, and cycloamidation reactions. Some compds. of the invention showed inhibition of HCV < 4 μ M (HCV full length NS3 FRET assay).

IT 918654-45-2P

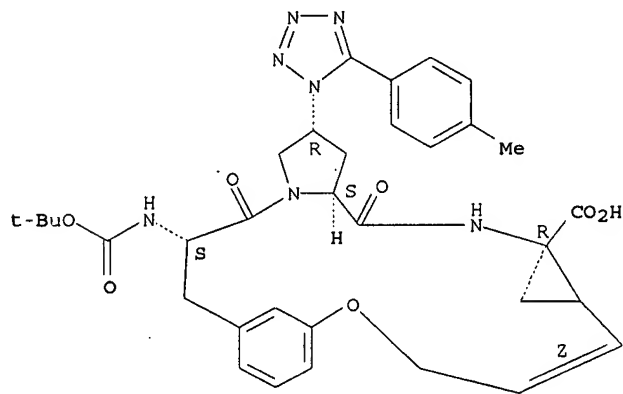
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-containing macrocyclic peptides for treatment of hepatitis C and SARS)

RN 918654-45-2 HCAPLUS

CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-L-phenylalanyl-(4R)-4-[5-(4-methylphenyl)-1H-tetrazol-1-yl]-L-prolyl-1-amino-2-[(1Z)-3-hydroxy-1-propen-1-yl]-, cyclic (1 \rightarrow 3)-ether, (1R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



=> b uspatall

FILE 'USPATFULL' ENTERED AT 15:46:23 ON 03 JUL 2007

CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:46:23 ON 03 JUL 2007

CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitrn fhitstr l33 tot

L33 ANSWER 1 OF 2 USPATFULL on STN

AN 2005:177796 USPATFULL

TI Macrocyclic hepatitis C serine protease inhibitors

IN Miao, Zhenwei, San Diego, CA, UNITED STATES

Sun, Ying, Waltham, MA, UNITED STATES

Nakajima, Suanne, Cambridge, MA, UNITED STATES

Tang, Datong, Malden, MA, UNITED STATES

Wu, Frank, Shrewsbury, MA, UNITED STATES

Xu, Guoyou, Auburndale, MA, UNITED STATES

Or, Yat S., Watertown, MA, UNITED STATES

Wang, Zhe, Hockessin, DE, UNITED STATES

PI US-20050153877 A1 20050714

AI 2004US-000774047 A1 20040206 (10)

PRAI 2003US-000509069P 20030213 (60)

DT Utility

FS APPLICATION

LREP EDWARDS & ANGELL, LLP, P.O. BOX 55874, BOSTON, MA, 02205, US

CLMN Number of Claims: 77

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 7932

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of Formula I, II or III, or a pharmaceutically acceptable salt, ester, or prodrug, thereof:

##STR1## wherein W is a substituted or unsubstituted heterocyclic ring system. The compounds inhibit serine protease activity, particularly the activity of hepatitis c virus (HCV) NS3-NS4A protease. Consequently, the compounds of the present invention interfere with the life cycle of the hepatitis c virus and are also useful as antiviral agents. The present invention further relates to pharmaceutical compositions comprising the aforementioned compounds for administration to a subject suffering from HCV infection. The invention also relates to methods of treating an HCV infection in a subject by administering a pharmaceutical composition comprising the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 744247-19-6P 744247-22-1P 744247-24-3P

744247-26-5P 744247-28-7P 744247-30-1P

744247-32-3P 744247-34-5P 744247-36-7P

744247-38-9P 744247-40-3P 744247-42-5P

744247-44-7P 744247-46-9P 744247-48-1P

744247-50-5P 744247-52-7P 744247-54-9P

744247-56-1P 744247-58-3P 744247-60-7P

744247-62-9P 744247-64-1P 744247-66-3P

744247-68-5P 744247-70-9P 744247-72-1P

744247-74-3P 744247-76-5P 744247-78-7P

744247-80-1P 744247-82-3P 744247-84-5P

744247-86-7P 744247-88-9P 744247-91-4P

744247-94-7P 744247-97-0P 744248-00-8P

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744248-12-2P 744248-15-5P 744248-18-8P

744248-20-2P 744248-22-4P 744248-24-6P

744248-26-8P 744248-28-0P 744248-30-4P

744248-32-6P 744248-34-8P 744248-36-0P

744248-38-2P 744248-40-6P 744248-42-8P

744248-44-0P 744248-45-1P 744248-46-2P

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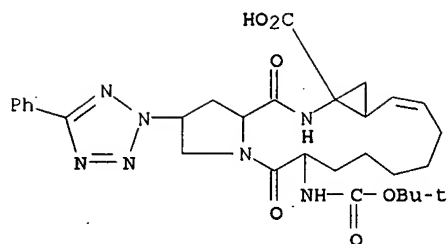
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 858951-17-4P 858951-18-5P 858951-19-6P
 858951-20-9P 858951-21-0P
 (synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)
 IT 858951-22-1P 858951-23-2P 858951-24-3P
 858951-25-4P 858951-26-5P 858951-27-6P
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 858972-57-3P 858972-58-4P 858972-59-5P
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 (synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)
 IT 744251-08-9P 744251-12-5P 744251-15-8P
 744251-18-1P 744251-21-6P 744251-25-0P
 744251-32-9P 744251-33-0P
 (synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)
 IT 744247-19-6P
 (synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)
 RN 744247-19-6 USPATFULL
 CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



L33 ANSWER 2 OF 2 USPATFULL on STN

AN 2004:233741 USPATFULL

TI Pyridazinonyl macrocyclic hepatitis C serine protease inhibitors

IN Nakajima, Suanne, Cambridge, MA, UNITED STATES

Tang, Datong, Malden, MA, UNITED STATES

Wu, Frank, Shrewsbury, MA, UNITED STATES

Miao, Zhenwei, Medway, MA, UNITED STATES

Sun, Ying, Waltham, MA, UNITED STATES

Or, Yat Sun, Watertown, MA, UNITED STATES

Wang, Zhe, Hockessin, DE, UNITED STATES

PI US-20040180815 A1 20040916

AI 2003US-000384120 A1 20030307 (10)

DT Utility

FS APPLICATION

LREP ENANTA PHARMACEUTICALS, INC., ATTN: PATENT DEPT., 500 ARSENAL STREET,
WATERTOWN, MA, 02472

CLMN Number of Claims: 16

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2590

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

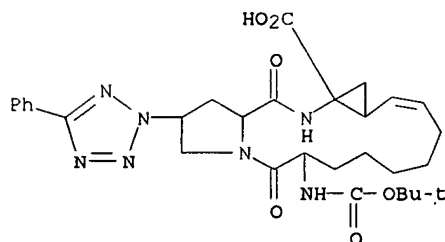
AB The present invention relates to compounds of Formula I or II, or a
pharmaceutically acceptable salt, ester, or prodrug, thereof: ##STR1##

which inhibit serine protease activity, particularly the activity of
hepatitis C virus (HCV) NS3-NS4A protease. Consequently, the compounds
of the present invention interfere with the life cycle of the hepatitis
C virus and are also useful as antiviral agents. The present invention
further relates to pharmaceutical compositions comprising the
aforementioned compounds for administration to a subject suffering from
HCV infection. The invention also relates to methods of treating an HCV
infection in a subject by administering a pharmaceutical composition
comprising the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 744247-19-6P 744247-22-1P 744247-24-3P
744247-26-5P 744247-28-7P 744247-30-1P
744247-32-3P 744247-34-5P 744247-36-7P
744247-38-9P 744247-40-3P 744247-42-5P
744247-44-7P 744247-46-9P 744247-48-1P
744247-50-5P 744247-52-7P 744247-54-9P
744247-56-1P 744247-58-3P 744247-60-7P
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744248-20-2P 744248-22-4P 744248-24-6P
744248-26-8P 744248-28-0P 744248-30-4P
744248-32-6P 744248-34-8P 744248-36-0P
744248-38-2P 744248-40-6P 744248-42-8P
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 744249-99-8P 744250-00-8P 744250-01-9P
 744250-02-0P 744250-03-1P 744250-04-2P
 744250-05-3P 744250-06-4P 745013-11-0P
 746657-33-0P
 (macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors,
 their synthesis and use to prevent HCV infection)
 IT 744251-08-9P 744251-12-5P 744251-15-8P
 744251-18-1P 744251-21-6P 744251-25-0P
 744251-32-9P 744251-33-0P
 (macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors,
 their synthesis and use to prevent HCV infection)
 IT 744247-19-6P
 (macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors,
 their synthesis and use to prevent HCV infection)
 RN 744247-19-6 USPATFULL
 CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic
 acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-
 1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-
 phenyl-2H-tetrazol-2-yl)-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX
 NAME)



=> d his

(FILE 'HOME' ENTERED AT 13:44:20 ON 03 JUL 2007)

FILE 'REGISTRY' ENTERED AT 13:46:47 ON 03 JUL 2007

L1 STR
 SAV TEM L1 J047C1/Q
 L2 STR L1
 L3 183824 N4C/ES
 L4 STR L1
 L5 36 L4
 L6 16 L4 SAM SUB=L3
 L7 STR L4
 L8 STR L7
 L9 16 L8 SAM SUB=L3
 L10 257 L8 FULL SUB=L3
 DEL J047C1/Q
 SAV TEM J047C1/A L10

FILE 'HCAPLUS' ENTERED AT 15:36:00 ON 03 JUL 2007

L11 1 US20050153877/PN OR (US2004-774047 OR US2003-509069#)/AP,PRN
 E MIAO Z/AU
 L12 61 E3-11
 E MIAO ZHEN/AU
 L13 13 E3,E8
 E ZHENWEI M/AU
 E ZHENWEI N/AU
 E ZHEN M/AU
 L14 6 E3
 E ZHEN N/AU

E MIAO N/AU
 E SUN Y/AU
 L15 2099 E3-31
 E SUN YING/AU
 L16 1049 E3-93
 E NAKAJIMA S/AU
 L17 300 E3-4
 E NAKAJIMA SUANNE/AU
 L18 17 E3-4
 E NAKAJIMA N/AU
 L19 73 E10
 E TANG D/AU
 E TANG D/AU
 L20 354 E3-22
 E TANG DATONG/AU
 L21 21 E3
 E XU G/AU
 L22 1034 E3-25
 E XU GUOYOU/AU
 L23 31 E3
 E XU GUO/AU
 L24 10 E3,E71
 E OR Y/AU
 L25 174 E4,E8-10
 E WANG Z/AU
 L26 4938 E3-37
 E ZHE WANG/AU
 L27 5 E3
 L28 70 ENANTA/CS,PA
 L29 3 L10
 L30 2 L29 AND L11-28
 L31 1 L29 NOT L30

FILE 'REGISTRY' ENTERED AT 15:44:27 ON 03 JUL 2007

FILE 'HCAOLD' ENTERED AT 15:44:44 ON 03 JUL 2007

L32 0 L10

FILE 'USPATFULL, USPAT2' ENTERED AT 15:45:05 ON 03 JUL 2007

L33 2 L10

=> b hcap

FILE 'HCAPLUS' ENTERED AT 15:51:10 ON 03 JUL 2007

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FILE COVERS 1907 - 3 Jul 2007 VOL 147 ISS 2

FILE LAST UPDATED: 2 Jul 2007 (20070702/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitstr 142 tot

L42 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:611823 HCAPLUS

DN 143:153709

TI Synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors

IN Miao, Zhenwei; Sun, Ying; Nakajima, Suanne; Tang,

Datong; Wu, Frank; Xu, Guoyou; Or, Yat S.; Wang, Zhe

PA USA

SO U.S. Pat. Appl. Publ., 229 pp.

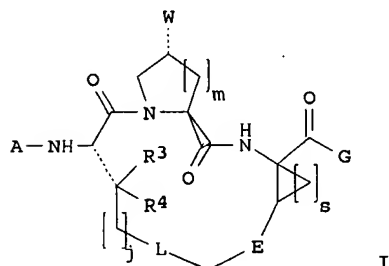
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US2005153877	A1	20050714	2004US-0774047	20040206 <--
PRAI	2003US-509069P	P	20030213	<--	
OS	MARPAT 143:153709				
GI					



AB The invention relates to cyclic peptides I [A = H, COR₂, CO₂R₁, CONHR₂, etc.; G = OH, alkoxy, NHSO₂R₁, CO₂R₁, CONHR₁, etc.; L = absent, S, SO₂, O, COCH₂, CF₂CH₂, etc.; j = 0-4; m, s = 0-2; R₁, R₂ = H, C₁-6-alkyl, (substituted)aryl, heteroaryl, etc.; R₃, R₄ = H, OH, Me, CN, SH, halo, NO₂, NH₂, amide, MeO, CF₃O, CF₃; E = CH:CH, CH₂CH₂; W = (un)substituted heterocyclic ring], or their pharmaceutically-acceptable salts, esters, or prodrugs, which inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. An example is I (A = Me₃CO₂C, G = OH, L = absent, W = 5-phenyl-1,2,3,4-tetrazol-2-yl, j = 3, m, s = 1; R₃, R₄ = H), which was prepared via peptide coupling and ring-closing metathesis.

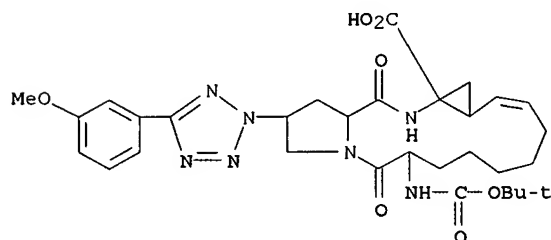
IT 744247-74-3P 744248-03-1P 858949-08-3P
858949-20-9P 858950-73-9P 858951-02-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)

RN 744247-74-3 HCAPLUS

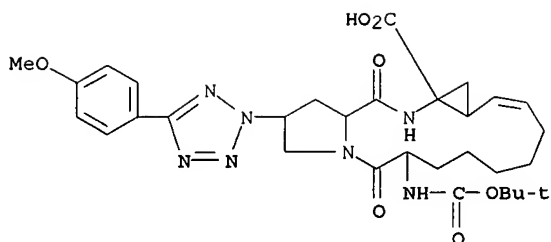
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RN 744248-03-1 HCAPLUS

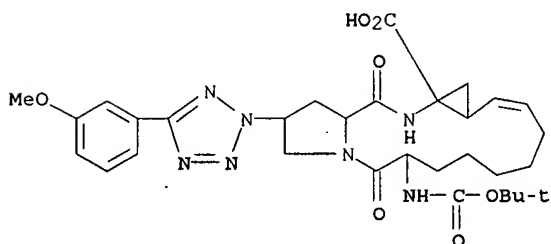
CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-2-[5-(4-methoxyphenyl)-2H-tetrazol-2-yl]-5,16-dioxo-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)

NAME)



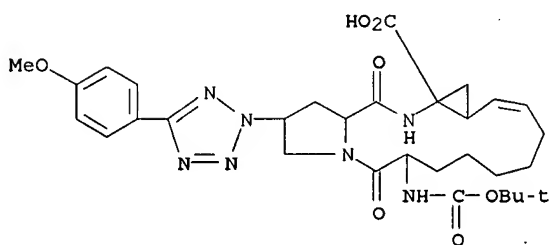
RN 858949-08-3 HCAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-2-[5-(3-methoxyphenyl)-2H-tetrazol-2-yl]-5,16-dioxo-, (2R,6S,16aS)- (9CI) (CA INDEX NAME)



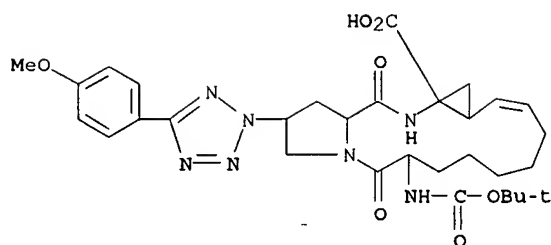
RN 858949-20-9 HCAPLUS

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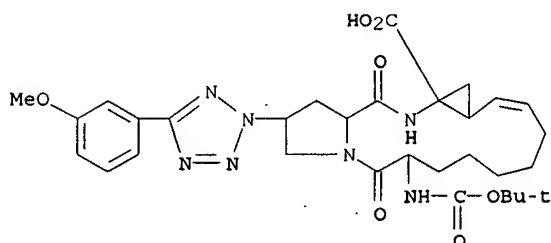


RN 858950-73-9 HCAPLUS

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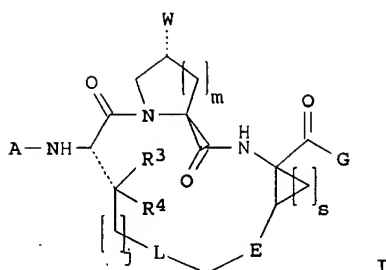
RN 858951-02-7 HCAPLUS
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L42 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:698218 HCAPLUS
 DN 141:220883
 TI Macrocytic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection
 IN Miao, Zenwei; Sun, Ying; Wu, Frank; Nakajima, Suanne;
 Xu, Guoyou; Or, Yat Sun; Wang, Zhe
 PA Enanta Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 299 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2004072243	A2	20040826	2004WO-US03479	20040206
WO2004072243	A3	20051103		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US2004180815	A1	20040916	2003US-0384120	20030307
AU2004211637	A1	20040826	2004AU-0211637	20040206
CA---2515216	A1	20040826	2004CA-2515216	20040206
EP---1590442	A2	20051102	2004EP-0709020	20040206
EP---1590442	A3	20051221		
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CN---1771050	A	20060510	CN 2004-80009268	20040206
PRAI 2003US-0360947	A	20030207		
2003US-0365854	A	20030213		
2003US-0384120	A	20030307		
2004WO-US03479	A	20040206		
OS MARPAT 141:220883				

GI



AB The present invention relates to compds. I [A = H, COR2, COOR1, CONHR2, etc.; G = OH, COR2, COOR1, CONHR1, etc.; L = S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1, R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3, R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or a pharmaceutically acceptable salt, ester, or prodrug thereof, and to methods for their synthesis. The compds. inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. Consequently, the compds. of the present invention interfere with the life cycle of HCV and are also useful as antiviral agents. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject suffering from HCV infection. The invention also relates to methods of treating an HCV infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention.

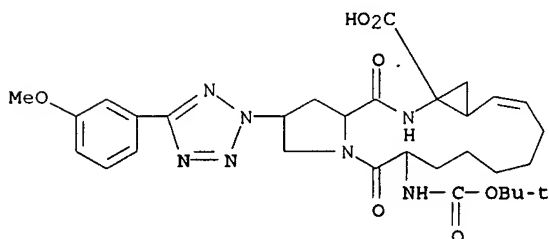
IT 744247-74-3P 744248-03-1P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

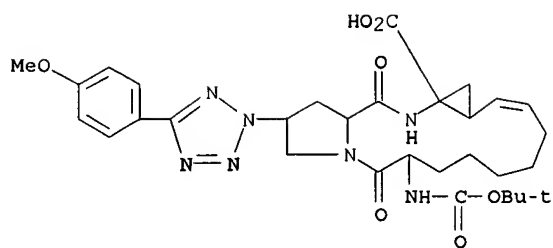
RN 744247-74-3 HCAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-2-[5-(3-methoxyphenyl)-2H-tetrazol-2-yl]-5,16-dioxo-, (2R,6S,13aS,14aR,16aS)-(9CI) (CA INDEX NAME)



RN 744248-03-1 HCAPLUS

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=> d his l34-

FILE 'REGISTRY' ENTERED AT 15:46:57 ON 03 JUL 2007

FILE 'HCAPLUS' ENTERED AT 15:47:05 ON 03 JUL 2007

L34 TRA L11 1- RN : 730 TERMS

FILE 'REGISTRY' ENTERED AT 15:47:05 ON 03 JUL 2007

L35 730 SEA L34
 L36 256 L10 AND L35
 L37 35 L36 AND METHOXY
 L38 14 L37 AND 4 METHOXY
 L39 3 C31H41N7O7 AND L38
 L40 6 C31H41N7O7 AND C3-NC4-NC2NC11/ES

FILE 'HCAPLUS' ENTERED AT 15:50:40 ON 03 JUL 2007

L41 2 L39-40
 L42 2 L41 AND L11-28

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EAST Search History

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L1	100	(miao zhen zhenwei sun makajima tang wu xu or wang).in. and (macrocyclic or tetraazo\$.ti.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/03 16:05
L2	33	I1 and hepatitis ADJ c	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/03 16:06
L3	22	I2 and serine ADJ protease	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/03 16:07
L4	11	I2 not I3	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/03 16:07